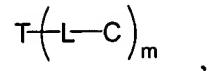


**WHAT IS CLAIMED IS:**

1. A compound of the following formula:



wherein

T is a transportophore,

5 L is a bond or a linker having a molecular weight up to 240 dalton,

C is a non-antibiotic therapeutic agent, and

m is 1, 2, 3, 4, 5, 6, 7, or 8,

in which the transportophore has an immune selectivity ratio of at least 2, the  
transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or  
10 the linker, and the compound has an immune selectivity ratio of at least 2.

2. The compound of claim 1, wherein the transportophore is an amphiphilic  
molecule having a pKa value of 6.5 to 9.5.

15 3. The compound of claim 1, wherein the transportophore is a cyclic or  
heterocyclic molecule.

4. The compound of claim 3, wherein the cyclic or heterocyclic molecule has an  
attached sugar.

20 5. The compound of claim 3, wherein the cyclic or herterocyclic molecule is a  
macrolactone or macroether.

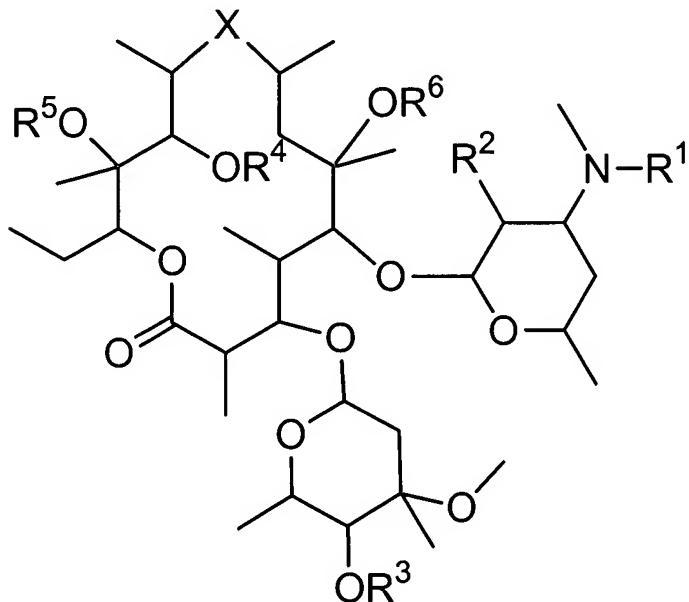
25 6. The compound of claim 5, wherein the macrolactone or macroether has an  
attached sugar.

7. The compound of claim 3, wherein the cyclic or herterocyclic molecule is a  
macrolide or ketolide having an amino sugar.

8. The compound of claim 7, wherein the cyclic or heterocyclic molecule is a macrolide having mono-, di-, or tri-basic groups.

9. The compound of claim 1, wherein the compound is

5



wherein

X = N(R<sup>7</sup>)-CH<sub>2</sub>

10

CH<sub>2</sub>-N(R<sup>7</sup>)

C(=O)

C(=NOR<sup>8</sup>)

CH(OR<sup>9</sup>)

CH(NR<sup>10</sup>R<sup>11</sup>)

15

C(=NR<sup>12</sup>)

OC(=O)

C(=O)O

Y = independently linker

Z = C(=O)-

20

CH(R<sup>16</sup>)

R<sup>1</sup> = H

	CH <sub>3</sub>
	(C <sub>2</sub> -C <sub>10</sub> )alkyl
	(C <sub>1</sub> -C <sub>10</sub> )alkenyl
	(C <sub>1</sub> -C <sub>10</sub> )alkynyl
5	(C <sub>1</sub> -C <sub>8</sub> )[(C <sub>1</sub> -C <sub>4</sub> )alkoxy]alkyl
	(C <sub>1</sub> -C <sub>8</sub> )[(C <sub>1</sub> -C <sub>4</sub> )alkoxy]alkenyl
	(C <sub>6</sub> -C <sub>10</sub> )aryl-(C <sub>1</sub> -C <sub>5</sub> )alkyl
	(C <sub>2</sub> -C <sub>9</sub> )heteroaryl-(C <sub>1</sub> -C <sub>5</sub> )alkyl
	(C <sub>1</sub> -C <sub>4</sub> )alkyliden-NR <sup>18</sup> R <sup>19</sup>
10	Y-R <sup>13</sup>
	C(=O)-Y-R <sup>15</sup>
	C(=O)-R <sup>15</sup>
	R <sup>2</sup> = H
	(1',2'-cis)-OH
15	(1',2'-trans)-OH
	(1',2'-cis)-OR <sup>15</sup>
	(1',2'-trans)-OR <sup>15</sup>
	(1',2'-cis)-SH
	(1',2'-cis)-S-Y-R <sup>13</sup>
20	or the R <sup>1</sup> and R <sup>2</sup> bearing atoms are connected via a -OC(=O)CHR <sup>16</sup> - element
	R <sup>3</sup> = H
	C(=O)-Y-R <sup>15</sup>
	C(=O)-R <sup>15</sup>
	R <sup>4</sup> = H
25	C(=O)-Y-R <sup>15</sup>
	C(=O)-R <sup>15</sup>
	R <sup>5</sup> = H
	or R <sup>4</sup> , R <sup>5</sup> are connected by Z
	R <sup>6</sup> = H
30	CH <sub>3</sub>
	R <sup>7</sup> = H

$\text{CH}_3$   
 $\text{Y}-\text{R}^{13}$   
 $\text{C}(=\text{O})-\text{Y}-\text{R}^{15}$   
 $\text{C}(=\text{O})-\text{R}^{15}$

5             $\text{R}^8 =$      $\text{H}$   
                         $\text{Y}-\text{R}^{13}$   
                         $\text{R}^{13}$   
                         $\text{C}(=\text{O})-\text{R}^{17}$   
                         $(\text{C}_1-\text{C}_{10})\text{alkyl}$   
10               $(\text{C}_1-\text{C}_{10})\text{alkenyl}$   
                     $(\text{C}_1-\text{C}_{10})\text{alkynyl}$   
                     $(\text{C}_1-\text{C}_8)[(\text{C}_1-\text{C}_4)\text{alkoxy}] \text{alkyl}$   
                     $(\text{C}_1-\text{C}_8)[(\text{C}_1-\text{C}_4)\text{alkoxy}] \text{alkenyl}$   
                     $(\text{C}_6-\text{C}_{10})\text{aryl}-(\text{C}_1-\text{C}_5)\text{alkyl}$   
15               $(\text{C}_2-\text{C}_9)\text{heteroaryl}-(\text{C}_1-\text{C}_5)\text{alkyl}$   
                     $(\text{C}_1-\text{C}_4)\text{alkyliden-NR}^{18}\text{R}^{19}$

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,  $(\text{C}_1-\text{C}_4)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkenyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkynyl}$ ,  $(\text{C}_3-\text{C}_7)\text{cycloalkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{heterocycloalkyl}$ ,  $(\text{C}_6-\text{C}_{10})\text{aryl}$ ,  $(\text{C}_1-\text{C}_9)\text{heteroaryl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $-\text{NR}^{18}\text{R}^{19}$ ,  $\text{R}^{18}\text{C}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{OC}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{NHC}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{NH}-$ ,  $\text{R}^{18}\text{R}^{19}\text{NC}(=\text{O})-$  and  $\text{R}^{18}\text{OC}(=\text{O})-$

20               $\text{R}^9 =$      $\text{H}$   
                     $(\text{C}_1-\text{C}_{10})\text{alkyl}$   
                     $(\text{C}_1-\text{C}_{10})\text{alkenyl}$   
                     $(\text{C}_1-\text{C}_{10})\text{alkynyl}$   
                     $(\text{C}_1-\text{C}_8)[(\text{C}_1-\text{C}_4)\text{alkoxy}] \text{alkyl}$   
                     $(\text{C}_1-\text{C}_8)[(\text{C}_1-\text{C}_4)\text{alkoxy}] \text{alkenyl}$   
                     $(\text{C}_6-\text{C}_{10})\text{aryl}-(\text{C}_1-\text{C}_5)\text{alkyl}$   
                     $(\text{C}_2-\text{C}_9)\text{heteroaryl}-(\text{C}_1-\text{C}_5)\text{alkyl}$

25              wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,  $(\text{C}_1-\text{C}_4)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkenyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkynyl}$ ,  $(\text{C}_3-\text{C}_7)\text{cycloalkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{heterocycloalkyl}$ ,  $(\text{C}_6-\text{C}_{10})\text{aryl}$ ,  $(\text{C}_1-\text{C}_9)\text{heteroaryl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $-\text{NR}^{18}\text{R}^{19}$ ,  $\text{R}^{18}\text{C}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{OC}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{NHC}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{NH}-$ ,  $\text{R}^{18}\text{R}^{19}\text{NC}(=\text{O})-$  and  $\text{R}^{18}\text{OC}(=\text{O})-$

30              wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,  $(\text{C}_1-\text{C}_4)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkenyl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkynyl}$ ,  $(\text{C}_3-\text{C}_7)\text{cycloalkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{heterocycloalkyl}$ ,  $(\text{C}_6-\text{C}_{10})\text{aryl}$ ,  $(\text{C}_1-\text{C}_9)\text{heteroaryl}$ ,  $(\text{C}_1-\text{C}_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $-\text{NR}^{18}\text{R}^{19}$ ,  $\text{R}^{18}\text{C}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{OC}(=\text{O})\text{O}-$ ,  $\text{R}^{18}\text{NHC}(=\text{O})-$ ,  $\text{R}^{18}\text{C}(=\text{O})\text{NH}-$ ,  $\text{R}^{18}\text{R}^{19}\text{NC}(=\text{O})-$  and  $\text{R}^{18}\text{OC}(=\text{O})-$

$C_4$ )alkenyl,  $(C_1-C_4)$ alkynyl,  $(C_3-C_7)$ cycloalkyl,  $(C_1-C_6)$ heterocycloalkyl,  $(C_6-C_{10})$ aryl,  $(C_1-C_9)$ heteroaryl,  $(C_1-C_4)$ alkoxy, hydroxy, nitro, cyano, azido, mercapto,  $-NR^{18}R^{19}$ ,  $R^{18}C(=O)-$ ,  $R^{18}C(=O)O-$ ,  $R^{18}OC(=O)O-$ ,  $R^{18}NHC(=O)-$ ,  $R^{18}C(=O)NH-$ ,  $R^{18}R^{19}NC(=O)-$  and  $R^{18}OC(=O)-$

$R^{10}, R^{11} =$  independently H

5  $(C_1-C_{10})$ alkyl

$(C_1-C_{10})$ alkenyl

$(C_1-C_{10})$ alkynyl

$(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl

$(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl

10  $(C_6-C_{10})$ aryl- $(C_1-C_5)$ alkyl

$(C_2-C_9)$ heteroaryl- $(C_1-C_5)$ alkyl

$(C_1-C_4)$ alkyliden- $NR^{18}R^{19}$

or  $R^{10} = H$  and  $R^{11} = -Y-R^{13}$

$C(=O)-Y-R^{15}$ ,  $-C(=O)-R^{15}$

15  $R^{12} = H$

$(C_1-C_{10})$ alkyl

$(C_1-C_{10})$ alkenyl

$(C_1-C_{10})$ alkynyl

$(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl

20  $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl

$(C_6-C_{10})$ aryl- $(C_1-C_5)$ alkyl

$(C_2-C_9)$ heteroaryl- $(C_1-C_5)$ alkyl

$(C_1-C_4)$ alkyliden- $NR^{18}R^{19}$

$Y-R^{13}$

25  $R^{13} =$  independently, therapeutic agent

$R^{15} =$  independently, therapeutic agent

$R^{16} = H$

$CH_3$

$(C_2-C_{10})$ alkyl

30  $(C_1-C_{10})$ alkenyl

$(C_1-C_{10})$ alkynyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl  
 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

5 (C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>  
 Y-R<sup>13</sup>,

R<sup>17</sup>= O-R<sup>20</sup>-aryl  
 optionally substituted by -X'-Y- therapeutic agent, X'-therapeutic agent

wherein X' is S, O, or NH

10 R<sup>18</sup>, R<sup>19</sup>= independently H

(C<sub>1</sub>-C<sub>10</sub>)alkyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkenyl  
 (C<sub>1</sub>-C<sub>10</sub>)alkynyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl  
 (C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl  
 15 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

R<sup>20</sup>= independently,

Halogen

20 (C<sub>1</sub>-C<sub>3</sub>)alkyl

NO<sub>2</sub>

CN

OCH<sub>3</sub>

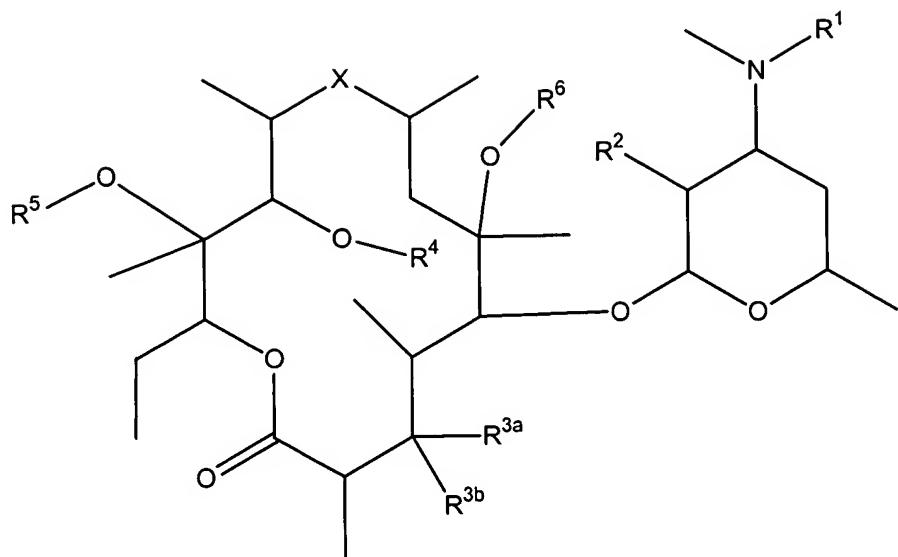
N(CH<sub>3</sub>)<sub>2</sub>

25 N<sub>3</sub>

SH

S(C<sub>1</sub>-C<sub>4</sub>)alkyl.

10. The compound of claim 1, wherein the compound is



wherein:

X =  $\text{N}(\text{R}^7)\text{-CH}_2$   
5  $\text{CH}_2\text{-N}(\text{R}^7)$

$\text{C}(=\text{O})$   
 $\text{C}(=\text{NOR}^8)$   
 $\text{CH}(\text{OR}^9)$   
 $\text{CH}(\text{NR}^{10}\text{R}^{11})$

10  $\text{C}(=\text{NR}^{12})$   
 $\text{OC}(=\text{O})$   
 $\text{C}(=\text{O})\text{O}$

Y = independently, linker

Z =  $\text{C}(=\text{O})\text{-}$   
15  $\text{CH}(\text{R}^{16})\text{-}$

R<sup>1</sup> = H  
20  $\text{CH}_3$   
 $(\text{C}_2\text{-C}_{10})\text{alkyl}$

$(\text{C}_1\text{-C}_{10})\text{alkenyl}$   
 $(\text{C}_1\text{-C}_{10})\text{alkynyl}$   
 $(\text{C}_1\text{-C}_8)[(\text{C}_1\text{-C}_4)\text{alkoxy}]alkyl$   
 $(\text{C}_1\text{-C}_8)[(\text{C}_1\text{-C}_4)\text{alkoxy}]alkenyl$

(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl  
(C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>  
Y-R<sup>13</sup>  
5 C(=O)-Y-R<sup>15</sup>  
C(=O)-R<sup>15</sup>  
S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl  
S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkenyl  
S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkynyl  
10 S(=O)<sub>k</sub>(C<sub>6</sub>-C<sub>10</sub>)aryl  
S(=O)<sub>k</sub>(C<sub>2</sub>-C<sub>9</sub>)heteroaryl  
S(=O)<sub>k</sub>-Y-R<sup>15</sup>  
S(=O)<sub>k</sub>-R<sup>15</sup>

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl  
15 and heteroaryl can optionally be substituted by one to three halogen, cyano, hydroxy, (C<sub>1</sub>-C<sub>4</sub>)alkyloxy, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, NR<sup>18</sup>R<sup>19</sup>, R<sup>18</sup>C(=O)-, R<sup>18</sup>C(=O)O-, R<sup>18</sup>OC(=O)-, R<sup>18</sup>C(=O)NH-, R<sup>18</sup>NHC(=O)-, R<sup>18</sup>R<sup>19</sup>NC(=O)- or R<sup>18</sup>OC(=O)-O-

R<sup>2</sup> = H

20 (1',2'-cis)-OH  
(1',2'-trans)-OH  
(1',2'-cis)-OR<sup>15</sup>  
(1',2'-trans)-OR<sup>15</sup>  
(1',2'-cis)-SH  
25 (1',2'-cis)-S-Y-R<sup>13</sup>

or the R<sup>1</sup> and R<sup>2</sup> bearing atoms are connected via a -OC(=O)CHR<sup>16</sup>- element

R<sup>3a</sup>, R<sup>3b</sup> = independently H  
R<sup>1</sup>  
OH  
OR<sup>11</sup>  
NR<sup>10</sup>R<sup>11</sup>

or  $R^{3a} = R^{3b} = (=O)$ ,  
 $(=NR^1)$   
 $O(CH_2)_kO-$  wherein k is 2 or 3

$R^4 = H$   
5             $C(=O)-Y-R^{15}$   
               $C(=O)-R^{15}$

$R^5 = H$   
or  $R^4, R^5$  are connected by -Z-

$R^6 = H$   
10             $CH_3$   
 $R^7 = H$   
               $CH_3$   
               $Y-R^{13}$   
               $C(=O)-Y-R^{15}$

15             $C(=O)-R^{15}$   
 $R^8 = H$   
               $Y-R^{13}$   
               $C(=O)-R^{17}$

$R^9 =$              $H$   
20             $(C_1-C_{10})alkyl$   
               $(C_1-C_{10})alkenyl$   
               $(C_1-C_{10})alkynyl$   
               $(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$   
               $(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$   
               $(C_6-C_{10})aryl-(C_1-C_5)alkyl$   
               $(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$

25             $R^{10}, R^{11} =$  independently H  
               $(C_1-C_{10})alkyl$   
               $(C_1-C_{10})alkenyl$   
               $(C_1-C_{10})alkynyl$   
               $(C_3-C_{10})cycloalkyl$

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>2</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl are

5     optionally substituted by one to three halogen, cyano, hydroxy, (C<sub>1</sub>-C<sub>4</sub>)alkyloxy, nitro, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkenyl, (C<sub>1</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, NR<sup>18</sup>R<sup>19</sup>, R<sup>18</sup>C(=O)-, R<sup>18</sup>C(=O)O-, R<sup>18</sup>OC(=O)-, R<sup>18</sup>C(=O)NH-, R<sup>18</sup>NHC(=O)-, R<sup>18</sup>R<sup>19</sup>NC(=O)- or R<sup>18</sup>OC(=O)-O-

or R<sup>10</sup> =       H and

10     R<sup>11</sup> =   Y-R<sup>13</sup>

C(=O)-Y-R<sup>15</sup>

C(=O)-R<sup>15</sup>

S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkyl

S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkenyl

15     S(=O)<sub>k</sub>(C<sub>1</sub>-C<sub>10</sub>)alkynyl

S(=O)<sub>k</sub>(C<sub>6</sub>-C<sub>10</sub>)aryl

S(=O)<sub>k</sub>(C<sub>2</sub>-C<sub>9</sub>)heteroaryl

S(=O)<sub>k</sub>-Y-R<sup>15</sup>

S(=O)<sub>k</sub>-R<sup>15</sup>

20     wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can be substituted as defined above.

R<sup>12</sup>=   H

(C<sub>1</sub>-C<sub>10</sub>)alkyl

(C<sub>1</sub>-C<sub>10</sub>)alkenyl

25     (C<sub>1</sub>-C<sub>10</sub>)alkynyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl

(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

30     (C<sub>1</sub>-C<sub>4</sub>)alkyliden-NR<sup>18</sup>R<sup>19</sup>

Y-R<sup>13</sup>

$R^{13}$ = independently, therapeutic agent

$R^{15}$ = independently, therapeutic agent

$R^{16}$ = H

CH<sub>3</sub>

5 (C<sub>2</sub>-C<sub>10</sub>)alkyl

(C<sub>1</sub>-C<sub>10</sub>)alkenyl

(C<sub>1</sub>-C<sub>10</sub>)alkynyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl

10 (C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

(C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

(C<sub>1</sub>-C<sub>4</sub>)alkylen-NR<sup>18</sup>R<sup>19</sup>

Y-R<sup>13</sup>

R<sup>17</sup>= O-R<sup>20</sup>-aryl

15 optionally substituted by -X'-Y-a therapeutic agent, X'-a therapeutic agent

wherein X' is

S, O, NH

R<sup>18</sup>, R<sup>19</sup>= independently H

(C<sub>1</sub>-C<sub>10</sub>)alkyl

20 (C<sub>1</sub>-C<sub>10</sub>)alkenyl

(C<sub>1</sub>-C<sub>10</sub>)alkynyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkyl

(C<sub>1</sub>-C<sub>8</sub>)[(C<sub>1</sub>-C<sub>4</sub>)alkoxy]alkenyl

(C<sub>6</sub>-C<sub>10</sub>)aryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

25 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl-(C<sub>1</sub>-C<sub>5</sub>)alkyl

R<sup>20</sup>= independently,

Halogen

(C<sub>1</sub>-C<sub>3</sub>)alkyl

NO<sub>2</sub>

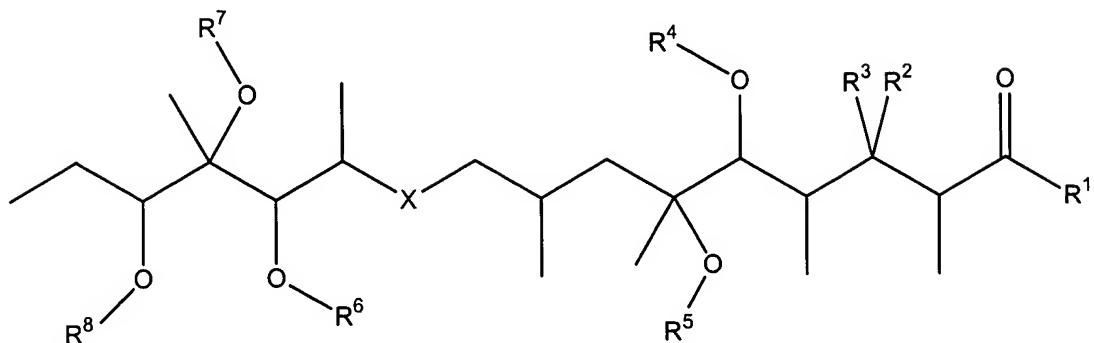
CN

OCH<sub>3</sub>

$\text{N}(\text{CH}_3)_2$  $\text{N}_3$  $\text{SH}$  $\text{S}(\text{C}_1\text{-}\text{C}_4)\text{alkyl.}$ 

5

11. The compound of claim 1, wherein the compound is



10

wherein

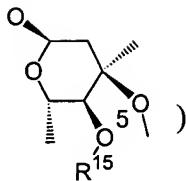
 $X = \text{N}(\text{R}^9)\text{-CH}_2$  $\text{CH}_2\text{-N}(\text{R}^9)$  $\text{C}(=\text{O})$  $\text{C}(=\text{NOR}^{10})$  $\text{C}(\text{OR}^{11})\text{H}$  $\text{CH}(\text{NR}^{12}\text{R}^{13})$  $\text{C}(=\text{NR}^{14})$  $\text{OC}(=\text{O})$  $\text{C}(=\text{O})\text{O}$ 

15

 $Y = \text{independently, linker}$ 

20

 $\text{R}^1 = \text{OR}^{17}$  $\text{NR}^{17}\text{R}^{18},$ or  $\text{R}^1$  is connected to the oxygen bearing  $\text{R}^4$  or  $\text{R}^5$  forming a lactone or is connected to  
25 a suitable substituent in  $\text{R}^2$  forming a lactone or lactam,



$\text{X}'$ , wherein  $\text{X}' = \text{halogen}$

10 azido

nitro

cyano

$\text{OR}^{17}$

$\text{OR}^{22}$

15  $\text{NR}^{17}\text{R}^{18}$

$\text{SR}^{17}$  ( $\text{C}_1\text{-C}_6$ )alkyl

( $\text{C}_1\text{-C}_6$ )alkenyl

( $\text{C}_1\text{-C}_6$ )alkynyl

( $\text{C}_3\text{-C}_{10}$ )cycloalkyl

20 ( $\text{C}_1\text{-C}_9$ )heterocycloalkyl

( $\text{C}_6\text{-C}_{10}$ )aryl

( $\text{C}_1\text{-C}_9$ )heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,

25 ( $\text{C}_1\text{-C}_4$ )alkyl, ( $\text{C}_1\text{-C}_4$ )alkenyl, ( $\text{C}_1\text{-C}_4$ )alkynyl, ( $\text{C}_3\text{-C}_7$ )cycloalkyl, ( $\text{C}_1\text{-C}_6$ )heterocycloalkyl,

( $\text{C}_6\text{-C}_{10}$ )aryl, ( $\text{C}_1\text{-C}_9$ )heteroaryl, ( $\text{C}_1\text{-C}_4$ )alkoxy, hydroxy, nitro, cyano, azido, mercapto,

$\text{R}^{20}\text{R}^{21}\text{N-}$ ,  $\text{R}^{20}\text{C}(=\text{O})-$ ,  $\text{R}^{20}\text{C}(=\text{O})\text{O}-$ ,  $\text{R}^{20}\text{OC}(=\text{O})-$ ,  $\text{R}^{20}\text{NHC}(=\text{O})-$ ,  $\text{R}^{20}\text{C}(=\text{O})\text{NH}-$ ,

$\text{R}^{20}\text{R}^{21}\text{NC}(=\text{O})-$ , and  $\text{R}^{20}\text{OC}(=\text{O})\text{O-}$ , -Y- therapeutic agent or -therapeutic agent,

$\text{R}^3 = \text{H}$

30 ( $\text{C}_1\text{-C}_6$ )alkyl

( $\text{C}_1\text{-C}_6$ )alkenyl

( $\text{C}_1\text{-C}_6$ )alkynyl

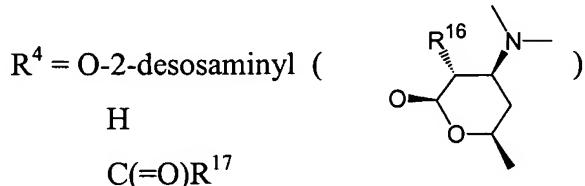
( $\text{C}_3\text{-C}_{10}$ )cycloalkyl

( $\text{C}_1\text{-C}_9$ )heterocycloalkyl

35 ( $\text{C}_6\text{-C}_{10}$ )aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl,

5 (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, or R<sup>20</sup>R<sup>21</sup>N-

Y- therapeutic agent

15 therapeutic agent

S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogenC(=O)NR<sup>17</sup>R<sup>18</sup> (C<sub>1</sub>-C<sub>6</sub>)alkyl(C<sub>1</sub>-C<sub>6</sub>)alkenyl(C<sub>1</sub>-C<sub>6</sub>)alkynyl20 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

30 or R<sup>4</sup> is connected to a suitable R<sup>2</sup> containing a N or a O by -C(=O), S(=O)<sub>n</sub>

wherein n = 1 or 2, -CR<sup>20</sup>R<sup>17</sup>-, CR<sup>20</sup>(-Y- therapeutic agent)-, -CR<sup>20</sup>(- therapeutic agent)- forming in dependence of R<sup>2</sup> a 6 or 7-membered ring,

 $R^5 = R^{20}$  $\text{C}(=\text{O})\text{R}^{20}$

or R<sup>4</sup>, R<sup>5</sup> are connected by C(=O), S(=O)<sub>n</sub> wherein n = 1 or 2, -CR<sup>20</sup>R<sup>17</sup>-, CR<sup>20</sup>(-Y-therapeutic agent)-, -CR<sup>20</sup>(-therapeutic agent)-

R<sup>6</sup>, R<sup>8</sup> = independently H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

5 (C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

10 (C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, 15 R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent, or R<sup>6</sup>, R<sup>8</sup> = independently -C(=O)R<sup>17</sup>, -Y- therapeutic agent, - therapeutic agent, - S(=O)2R<sup>17</sup> providing R<sup>17</sup> is not hydrogen, -C(=O)NR<sup>17</sup>R<sup>18</sup>,

R<sup>7</sup> = H

20 (C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

25 (C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto,

$R^{20}R^{21}N-$ ,  $R^{20}C(=O)-$ ,  $R^{20}C(=O)O-$ ,  $R^{20}OC(=O)-$ ,  $R^{20}NHC(=O)-$ ,  $R^{20}C(=O)NH-$ ,  
 $R^{20}R^{21}NC(=O)-$ , and  $R^{20}OC(=O)O-$ , -Y- therapeutic agent or –therapeutic agent,  
or two of each  $R^6$ ,  $R^7$ ,  $R^8$  are connected by  $-C(=O)$ ,  $S(=O)_n$  wherein  $n = 1$  or  $2$ , -  
 $CR^{20}R^{17}-$ ,  $CR^{20}(-Y-\text{therapeutic agent})-$ ,  $-CR^{20}(-\text{therapeutic agent})-$ ,

5            $R^9 = H$

$CH_3$

              Y-therapeutic agent

              therapeutic agent

$(C_1-C_6)\text{alkyl}$

10            $(C_1-C_6)\text{alkenyl}$

$(C_1-C_6)\text{alkynyl}$ ,

              wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five  
substituents selected independently from halogen,  $(C_1-C_4)\text{alkyl}$ ,  $(C_1-C_4)\text{alkenyl}$ ,  $(C_1-$   
 $C_4)\text{alkynyl}$ ,  $(C_3-C_7)\text{cycloalkyl}$ ,  $(C_1-C_6)\text{heterocycloalkyl}$ ,  $(C_6-C_{10})\text{aryl}$ ,  $(C_1-C_9)\text{heteroaryl}$ ,  $(C_1-$   
15            $C_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $R^{20}R^{21}N-$ ,  $R^{20}C(=O)-$ ,  $R^{20}C(=O)O-$ ,  
 $R^{20}OC(=O)-$ ,  $R^{20}NHC(=O)-$ ,  $R^{20}C(=O)NH-$ ,  $R^{20}R^{21}NC(=O)-$ , and  $R^{20}OC(=O)O-$ , -Y-  
therapeutic agent or –therapeutic agent,

$R^{10} = C(=O)-\text{aryl}$

              therapeutic agent,

20           H

$(C_1-C_6)\text{alkyl}$

$(C_1-C_6)\text{alkenyl}$

$(C_1-C_6)\text{alkynyl}$ ,

              wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five  
substituents selected independently from halogen,  $(C_1-C_4)\text{alkyl}$ ,  $(C_1-C_4)\text{alkenyl}$ ,  $(C_1-$   
 $C_4)\text{alkynyl}$ ,  $(C_3-C_7)\text{cycloalkyl}$ ,  $(C_1-C_6)\text{heterocycloalkyl}$ ,  $(C_6-C_{10})\text{aryl}$ ,  $(C_1-C_9)\text{heteroaryl}$ ,  $(C_1-$   
 $C_4)\text{alkoxy}$ , hydroxy, nitro, cyano, azido, mercapto,  $R^{20}R^{21}N-$ ,  $R^{20}C(=O)-$ ,  $R^{20}C(=O)O-$ ,  
 $R^{20}OC(=O)-$ ,  $R^{20}NHC(=O)-$ ,  $R^{20}C(=O)NH-$ ,  $R^{20}R^{21}NC(=O)-$ , and  $R^{20}OC(=O)O-$ , -Y-  
therapeutic agent or – therapeutic agent

30            $R^{11} = H$

$(C_1-C_6)\text{alkyl}$

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R<sup>11</sup> = -Y- therapeutic agent, - therapeutic agent, -C(=O)R<sup>17</sup>

10 R<sup>12</sup>, R<sup>13</sup> = independently H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen,

20 (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R<sup>12</sup>, R<sup>13</sup> = independently -C(=O)R<sup>17</sup>, -Y- therapeutic agent, - therapeutic agent, -

25 S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogen, -C(=O)NR<sup>17</sup>R<sup>18</sup>

R<sup>14</sup> = therapeutic agent

H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups

5 are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

10 R<sup>15</sup> = H

C(=O)R<sup>17</sup>

Y- therapeutic agent,

therapeutic agent,

S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogen

15 C(=O)NR<sup>17</sup>R<sup>18</sup>

(C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

20 (C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups

are optionally substituted by one to five substituents selected independently from halogen,

25 (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

R<sup>16</sup> = H

OR<sup>17</sup>

OR<sup>22</sup>

$R^{17}, R^{18} =$  independently H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>1</sub>-C<sub>6</sub>)alkenyl

(C<sub>1</sub>-C<sub>6</sub>)alkynyl

5 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl

(C<sub>1</sub>-C<sub>9</sub>)heterocycloalkyl

(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>1</sub>-C<sub>9</sub>)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups

10 are optionally substituted by one to five substituents selected independently from halogen, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-.

15 R- therapeutic agent or -therapeutic agent,

or provided that connected to a nitrogen, R<sup>17</sup>, R<sup>18</sup> may form a cyclic structure of 4 to 7 members (including the nitrogen). R<sup>17</sup> and R<sup>18</sup> then can represent a fragment from the type of -[C(AB)]<sub>m</sub>-Ξ<sub>n</sub>-[C(DE)]<sub>o</sub>-Ψ<sub>p</sub>-[C(GJ)]<sub>q</sub> wherein m, n, o, p and q independently are 0, 1, 2, 3, 4, 5, or 6, Ξ and Ψ independently are -O-, -S-, -NK- and A, B, D, E, G, J, and K independently are hydrogen, (C<sub>1</sub>-C<sub>4</sub>) alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkenyl, (C<sub>1</sub>-C<sub>4</sub>)alkynyl, (C<sub>3</sub>-C<sub>7</sub>)cycloalkyl, (C<sub>1</sub>-

20 C<sub>6</sub>)heterocycloalkyl, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>1</sub>-C<sub>9</sub>)heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R<sup>20</sup>R<sup>21</sup>N-, R<sup>20</sup>C(=O)-, R<sup>20</sup>C(=O)O-, R<sup>20</sup>OC(=O)-, R<sup>20</sup>NHC(=O)-, R<sup>20</sup>C(=O)NH-, R<sup>20</sup>R<sup>21</sup>NC(=O)-, and R<sup>20</sup>OC(=O)O-

R<sup>20</sup>, R<sup>21</sup> = independently H

(C<sub>1</sub>-C<sub>6</sub>)alkyl

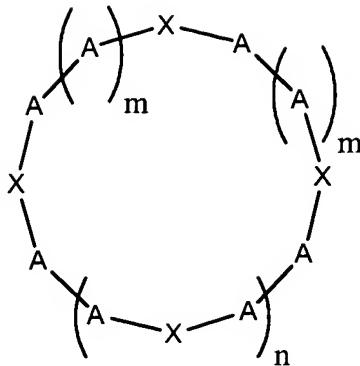
25 R<sup>22</sup> = C(=O)R<sup>17</sup>

Y- therapeutic agent

therapeutic agent,

S(=O)<sub>2</sub>R<sup>17</sup> providing R<sup>17</sup> is not hydrogen, -C(=O)NR<sup>17</sup>R<sup>18</sup>.

30 12. The compound of claim 1, wherein the compound is



wherein:

m = independently, 0, 1, 2, 3

n = 0 – 7

5 X = independently, O

S

Se

NR<sup>1</sup>

PR<sup>1</sup>

10 with the proviso, that at least one X = -NR<sup>1</sup>-

A = independently, CH<sub>2</sub>

CHR<sup>2</sup>

CR<sup>2</sup>R<sup>3</sup>

C(=O)

15 with the proviso, that at least one X = -NR<sup>1</sup>- is not an amide

R<sup>1</sup> = independently, H

(C<sub>1</sub>-C<sub>10</sub>)alkyl, optionally substituted by fluoro, cyano, R<sup>4</sup>, R<sup>4</sup>O<sub>2</sub>C,

R<sup>4</sup>C(=O)NH and R<sup>4</sup>S(=O)<sub>k</sub> wherein k is 0,1 or 2

R<sup>4</sup>C(=O), R<sup>4</sup>S(=O)<sub>k</sub> wherein k is 0, 1 or 2

20 R<sup>2</sup>, R<sup>3</sup> = independently NH<sub>2</sub>

NHR<sup>1</sup>

NR<sup>1</sup>R<sup>5</sup>

OH,

OR<sup>4</sup>

25 R<sup>4</sup>C(=O) (C<sub>1</sub>-C<sub>6</sub>)alkyl

(C<sub>2</sub>-C<sub>12</sub>)alkenyl  
(C<sub>2</sub>-C<sub>12</sub>)alkynyl  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
5 (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, -C(=O)-OR<sup>8</sup>, -C(=O)N(H)R<sup>8</sup>, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, N\*R<sup>5</sup>R<sup>6</sup>R<sup>7</sup> wherein \* is no or a positive charge, one or two of R<sup>2</sup>, R<sup>3</sup> can be a directly coupled therapeutic agent,  
10

R<sup>4</sup> = independently,  
NH<sub>2</sub>  
NHR<sup>9</sup>  
NR<sup>9</sup>R<sup>5</sup>  
15 OH  
OR<sup>9</sup>  
(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>12</sub>)alkenyl  
(C<sub>2</sub>-C<sub>12</sub>)alkynyl  
20 (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, R<sup>8</sup>, -C(=O)-OR<sup>8</sup>, -C(=O)N(H)R<sup>8</sup>, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, N\*R<sup>5</sup>R<sup>6</sup>R<sup>7</sup> wherein \* is no or a positive charge, or  
25

a therapeutic agent,

R<sup>5</sup>, R<sup>6</sup> = independently H  
30 (C<sub>1</sub>-C<sub>6</sub>), optionally substituted by hydroxy  
(C<sub>6</sub>-C<sub>10</sub>)aryl

(C<sub>2</sub>-C<sub>9</sub>)heteroaryl

R<sup>7</sup> = independently,  
lone electron pair  
CH<sub>3</sub>

5 C<sub>2</sub>H<sub>5</sub>  
C<sub>3</sub>H<sub>7</sub>  
CH<sub>2</sub>-C<sub>6</sub>H<sub>5</sub>

R<sup>8</sup> = independently, therapeutic agent

10 R<sup>9</sup> = independently,  
(C<sub>1</sub>-C<sub>6</sub>) alkyl  
(C<sub>2</sub>-C<sub>12</sub>)alkenyl  
(C<sub>2</sub>-C<sub>12</sub>)alkynyl  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl  
(C<sub>2</sub>-C<sub>9</sub>)heterocycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl

15 (C<sub>6</sub>-C<sub>10</sub>)aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl or  
(C<sub>2</sub>-C<sub>9</sub>)heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, hydroxy, nitro, cyano, R<sup>8</sup>, -C(=O)-OR<sup>8</sup>, -C(=O)N(H)R<sup>8</sup>, (C<sub>6</sub>-C<sub>10</sub>)aryl, (C<sub>2</sub>-C<sub>9</sub>)heteroaryl, N\*R<sup>5</sup>R<sup>6</sup>R<sup>7</sup> wherein \* is no  
20 or a positive charge, or  
a therapeutic agent.

13. The compound of claim 1, wherein the linker is  
(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
25 (C<sub>1</sub>-C<sub>8</sub>)alkenyl,  
(C<sub>1</sub>-C<sub>8</sub>)alkynyl,  
(C<sub>3</sub>-C<sub>10</sub>)cycloalkyl,  
(C<sub>6</sub>-C<sub>10</sub>)aryl,  
(C<sub>2</sub>-C<sub>9</sub>)heteroalkyl, or  
30 (C<sub>2</sub>-C<sub>9</sub>)heteroaryl,

wherein alkyl-, alkenyl, alkynyl, cycloalkyl, aryl or heteroaryl spacing elements are optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)alkyl, 1-4 halogens, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl, hydroxy, amino, (C<sub>1</sub>-C<sub>4</sub>)alkylamino, (C<sub>1</sub>-C<sub>4</sub>)dialkylamino, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonylamido, (C<sub>1</sub>-C<sub>4</sub>)alkylamidocarbonyl, (C<sub>1</sub>-C<sub>4</sub>)dialkylamidocarbonyl, nitro, cyano, (C<sub>1</sub>-C<sub>4</sub>)alkylimino, mercapto or (C<sub>1</sub>-C<sub>4</sub>)alkylmercapto.

14. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

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15. The compound of claim 1, wherein the anti-inflammatory agent is a protein kinase inhibitor, a protease inhibitor, or an HMGCoA reductase inhibitor.

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16. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

17. The compound of claim 1, wherein the anti-infectious agent is a protease inhibitor.

20

18. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

19. The compound of claim 1, wherein the non-antibiotic therapeutic agent is a fluorescent molecule useful in diagnostic or exploratory applications.

25

20. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.

30

21. The compound of claim 1, wherein the immune-suppressant agent is an analog of vitamin D or a statin.

22. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a hematopoietic disorder.
23. The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a metabolic disease.  
5
24. The compound of claim 1, wherein the metabolic disease is excessive coagulation, or hypercholesterolemia.
- 10 25. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.
- 15 26. A method of treating an inflammatory disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.
- 20 27. A method of treating an infectious disease, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.
- 25 28. A method of treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.
29. A method of treating allergy, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.  
30
30. A method of treating an immune disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.